

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
9 June 2005 (09.06.2005)

PCT

(10) International Publication Number
WO 2005/051911 A1

(51) International Patent Classification⁷: **C07D 211/42**, 405/04, 401/10, 409/10, A61K 31/4418, 31/443, 31/4436, 31/4439, 31/444

Grossmannstrasse 17, 79541 Lörrach (DE). **OSTERMANN**, Nils [DE/DE]; Mattenleestrasse 28, 79650 Schopfheim (DE).

(21) International Application Number:
PCT/EP2004/013410

(74) Agent: **GRUBB, Phillip**; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

(22) International Filing Date:
25 November 2004 (25.11.2004)

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/525,375 26 November 2003 (26.11.2003) US

(71) Applicant (for all designated States except AT, US): **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).

(71) Applicant (for AT only): **NOVARTIS PHARMA GMBH** [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **SEDRANI, Richard** [LU/CH]; Herrengrabenweg 15, CH-4054 Basel (CH). **MAIBAUM, Jürgen, Klaus** [DE/DE]; Lütemannsweg 14/1, 79576 Weil-Haltingen (DE). **BREITENSTEIN, Werner** [CH/CH]; St. Galler-Ring 179, CH-4054 Basel (CH). **SELLNER, Holger** [DE/CH]; Kelterstr. 37, CH-4106 Therwil (CH). **EHRHARDT, Claus** [DE/DE];

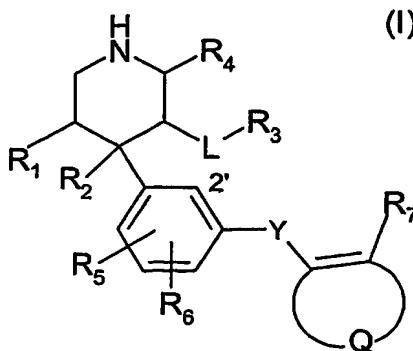
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 4-PHENYLPIPERIDINE DERIVATIVES AS RENIN INHIBITORS



(57) Abstract: Compounds of the present invention having the formula (I) exhibit inhibitory activity on the natural enzyme renin. Thus, compounds of formula (I) may be employed for the treatment of hypertension, atherosclerosis, unstable coronary syndrome, congestive heart failure, cardiac hypertrophy, cardiac fibrosis, cardiomyopathy postinfarction, unstable coronary syndrome, diastolic dysfunction, chronic kidney disease, hepatic fibrosis, complications resulting from diabetes, such as nephropathy, vasculopathy and neuropathy, diseases of the coronary vessels, restenosis following angioplasty, raised intra-ocular pressure, glaucoma, abnormal vascular growth, hyperaldosteronism, cognitive impairment, alzheimers, dementia, anxiety states and cognitive disorders.

WO 2005/051911 A1